

# PATENT

Docket No. US DEAV2002/0058 US NP

## IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of  
**Stroebel et al.**

Examiner: Not Yet Assigned

Art Unit: Not Yet Assigned

Application No.: **10/636,001**

Filed: **August 7, 2003**

Title: **Acylated Arylcycloalkylamines and Their Use as  
Pharmaceuticals**

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Applicants submit herewith patents, publications, and other information of which they are aware, which they believe may be material, as defined in 37 C.F.R. 1.56(b), to the examination of this application and in respect of which there may be a duty to disclose in accordance with 37 C.F.R. 1.56(a). While the information referred to in this Information Disclosure Statement may be material pursuant to 37 C.F.R. 1.56(b), the filing of this Information Disclosure Statement is not intended to, pursuant to 37 C.F.R. 1.97(h), constitute an admission that any patent, publication or other information referred to is, or is considered to be, material to the patentability of this invention. Pursuant to 37 C.F.R. 1.97(g), the filing of this Information Disclosure Statement shall not be construed to mean that a search has been made or that no other material information exists.

- (a) This Information Disclosure Statement is filed within the period set forth in §1.97(b) because it accompanies the new patent application submitted herewith, is filed within three months of the filing date of a national application or within three months of the date of entry of the national stage as set forth in §1.491 in an international application, or is believed to be filed before the mailing date of a first Office Action on the merits, whichever event occurs last. However, in the event that the first office action has been mailed, the Commissioner is authorized to charge any fees under 37 C.F.R. 1.17(p) or credit any overpayment to Account No. **18-1982**.

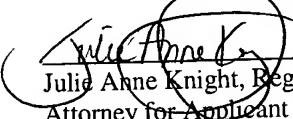
- (b) This Information Disclosure Statement is filed after the period set forth in 37 C.F.R. 1.97(b), but is believed to be filed before the mailing date of a final action under §1.113 or a notice of allowance under §1.311, whichever occurs first.
- (1) The undersigned attorney certifies that each item of information contained in this Information Disclosure Statement was cited in a communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of this statement;
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A concise explanation of the relevance of WIEHL, et al. as listed on the attached PTO-1449 (modified) is as follows:

**Asymmetric Reductive Amination of Cycloalkanones, 5<sup>1</sup>) Synthesis and Absolute Configuration of 2-Substituted Cyclopentanamines**

In an asymmetric synthesis 2-substituted cyclopentanamines are obtained from racemic cyclopentanones by means of reductive amination in a three-step procedure. Condensation of the ketones 3(n) with optically active 1-phenylethylamines yields imine mixtures 4(n), which are hydrogenated with Raney nickel to give the optically active, disatereomerically pure secondary amines 5(n). Hydrogenolysis with Palladium-on-charcoal leads to high grade enantiomerically pure primary amines 6(n) with good yields. The relative configuration of the amines 5(n) and 6(n) is elucidated by <sup>1</sup>H and <sup>13</sup>C NMR techniques. The absolute configuration is determined by X-ray analysis of the 4-bromobenzamide 8 of the primary amine (+)-6 (n) e and with the help of CD of the salicylidene 9(n). The kinetically controlled asymmetric hydrogenation with a first order transformation as proved reaction mechanism in the cyclohexanamine line is confirmed for this investigation. The hydrogenation runs like-induced to the cis-configurated amines 5(n) and 6(n), respectively.

Respectfully submitted,

  
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Attorney for Applicant

Date: September 30, 2003

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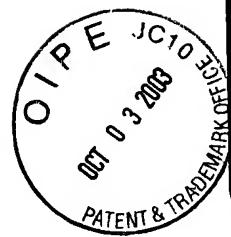
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PTO/SB/08A (10-96)

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Substitute for form 1449A/PTO				<i>Complete if Known</i>	
<b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b> <i>(use as many sheets as necessary)</i>				Application Number	10/636,001
				Filing Date	August 7, 2003
				First Named Inventor	STROBEL, et al.
				Group Art Unit	
				Examiner Name	
Sheet	1	of	2	Attorney Docket Number	DEAV2002/0058 - US - NP



## **INFORMATION DISCLOSURE STATEMENT BY APPLICANT**

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Sheet

1

of

2

**Complet if Known**

Application Number	10/636,001
Filing Date	August 7, 2003
First Named Inventor	STROBEL, et al.
Group Art Unit	
Examiner Name	

## **U.S. PATENT DOCUMENTS**

## FOREIGN PATENT DOCUMENTS

Examiner Signature		Date Considered	
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\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

<sup>1</sup> Unique citation designation number. <sup>2</sup> See attached Kinds of U.S. Patent Documents. <sup>3</sup> Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). <sup>4</sup> For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. <sup>5</sup> Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. <sup>6</sup> Applicant is to place a check mark here if English language Translation is attached.

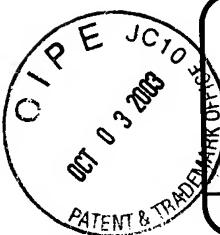
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Application Number	10/636,001
Filing Date	August 7, 2003
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Examiner Name	
Attorney Docket Number	DEAV2002/0058 - US - NP

**OTHER PRIOR ART -- NON PATENT LITERATURE DOCUMENTS**

Examiner Initials*	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T <sup>2</sup>
		AGGARWAL, et al., Catalytic Cyclopropanation Of Alkenes Using Diazo Compounds Generated In Situ. A Novel Route To 2-Arylcyclopropylamines, Org. Letters, 2001, 3 (17) 2785-2788	
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		LI, et al., Activation Of Protein Kinase Ca and or e Enhances Transcription of the Human Endothelial Nitric Oxide Synthase Gene, Mol. Pharmacol., 1998, 53, 630-637	
		MOROI, et al., Interaction Of Genetic Deficiency Of Endothelial Nitric Oxide, Gender, and Pregnancy In Vascular Response To Injury In Mice, J. Clin. Invest., 1998, 101 (6), 1225-1232	
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		WIEHL, et al., Synthese Und Absolute Konfiguration 2-Substituierter Cyclopentanamine, Chem. Ber. 1986, 119, 2668-2677	

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